L8 HAS NO ANSWERS 1.8 STR

VAR G1=10/11/15 VAR G2=ME/ET/N-PR/I-PR/N-BU/I-BU/T-BU VAR G3=2/1/6/5 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

21

GRAPH ATTRIBUTES: RSPEC 11 9 NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

=> s 18 ful FULL SEARCH INITIATED 09:59:11 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 856768 TO ITERATE

100.0% PROCESSED 856768 ITERATIONS 37 ANSWERS SEARCH TIME: 00.00.08

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SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 374.86 186.84

FILE 'CAPLUS' ENTERED AT 09:59:24 ON 20 OCT 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 20 Oct 2009 VOL 151 ISS 17 FILE LAST UPDATED: 19 Oct 2009 (20091019/ED) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 110 L11 12 L10

=> d bib hitstr 1-12

- L11 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
- 2007:963884 CAPLUS
- 147:322994
- ΤI Preparation of heterocyclic compounds having 5-HT6 receptor affinity for treating CNS, gastrointestinal, and polyglutamine-repeat disorders
- Dunn, Robert; Nguyen, Truc Minh; Xie, Wenge; Tehim, Ashok IN
- Memory Pharmaceuticals Corporation, USA PA
- SO PCT Int. Appl., 179pp. CODEN: PIXXD2
- Patent DT
- English LA

FAN.	CNT 1 PATEN	T NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D.	ATE	
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PRAI	0.0 - 0	• • • • •			_		2006										
	WO 20	07-US 6	2340		W		2007	0216									

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

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OS
    MARPAT 147:322994
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116480-55-8P, 3-(1-Methyl-1,2,3,6-tetrahydropyridin-4-yl)-1H-ΙT indole-5-carboxamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclic compds. having 5-HT6 receptor affinity for treating CNS, gastrointestinal, and polyglutamine-repeat disorders)

RN 116480-55-8 CAPLUS

1H-Indole-5-carboxamide, 3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA CN INDEX NAME)

$$H_2N-C$$
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RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

2005:673109 CAPLUS ΑN

143:172754 DN

ΤI Preparation of 7-indolecarboxamides as IKK2 kinase inhibitors for the treatment of such as inflammatory and tissue repair disorders

Baldwin, Ian Robert; Bamborough, Paul; Christopher, John Andrew; Kerns, ΙN Jeffrey K.; Longstaff, Timothy; Miller, David Drysdale

Smithkline Beecham Corporation, USA PA

PCT Int. Appl., 169 pp. SO

CODEN: PIXXD2

DT Patent

English

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ΡI	WO	2005	0679	23		A1		2005	0728		WO 2	005-	GB85			2	0050	113
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			GΕ,	GH,	GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
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	ES	2317184	Т3	20090416	ES	2005-701855	20050113
	$Z\mathbf{A}$	2006004855	A	20071128	ZA	2006-4855	20060613
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	US	20080269200	A1	20081030	US	2006-597154	20060713
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	ИО	2006003676	A	20061013	ИО	2006-3676	20060815
	ΗK	1098047	A1	20090529	HK	2007-102877	20070316
PRAI	GB	2004-895	A	20040115			
	WO	2005-GB85	W	20050113			

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 143:172754; MARPAT 143:172754

IT 860626-09-1P, 3-(1-Ethyl-3-piperidinyl)-5-phenyl-1H-indole-7-carboxamide 860626-21-7P,

3-(1-Ethyl-4-piperidinyl)-5-phenyl-1H-indole-7-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indolecarboxamides as IKK2 kinase inhibitors)

RN 860626-09-1 CAPLUS

CN 1H-Indole-7-carboxamide, 3-(1-ethyl-3-piperidinyl)-5-phenyl- (CA INDEX NAME)

RN 860626-21-7 CAPLUS

CN 1H-Indole-7-carboxamide, 3-(1-ethyl-4-piperidinyl)-5-phenyl- (CA INDEX NAME)

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1999:795681 CAPLUS

DN 132:35606

 ${\tt TI}$ Preparation of multibinding piperidinylindole derivatives as therapeutic agents that modulate 5-HT receptors

IN Marquess, Daniel; Griffin, John H.; Choi, Seok-Ki

PA Advanced Medicine, Inc., USA

PCT Int. Appl., 190 pp. CODEN: PIXXD2 SO

DTPatent LA English

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
     MARPAT 132:35606
ΙT
     252355-48-9P
                     252355-49-0P
                                     252355-50-3P
     252355-51-4P
                     252355-52-5P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (target compound; preparation of multibinding piperidinylindole derivs. as
        therapeutic agents that modulate 5-HT receptors and are useful for the
        treatment of migraine)
RN
     252355-48-9 CAPLUS
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1H-Indole-5-carboxamide, N-[2-(1H-indol-3-yl)ethyl]-N-methyl-3-(1-methyl-4-

Me-N-C

CH2

CH2

N
H

piperidinyl) - (CA INDEX NAME)

CN

RN 252355-49-0 CAPLUS
CN 1H-Indole-5-carboxamide, N-[2-(1H-indol-3-yl)ethyl]-3-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

RN 252355-50-3 CAPLUS

CN 1H-Indole-5-carboxamide, N-[2-(5-methoxy-1H-indol-3-yl)ethyl]-3-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

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RN 252355-51-4 CAPLUS

CN 1H-Indole-5-carboxamide, N-[2-(6-methoxy-1H-indol-3-yl)ethyl]-3-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

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RN 252355-52-5 CAPLUS

CN 1H-Indole-5-carboxamide, N-[2-(1H-indol-3-yl)-1-methylethyl]-3-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

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OSC.G
              THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE.CNT 10
              THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
L11 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
     1998:197401 CAPLUS
ΑN
     128:257330
DN
OREF 128:50942h,50943a
     Preparation of piperidinylindoles and related compounds as serotonin
     5-HT1F agonists
ΙN
     Johnson, Kirk W.; Phebus, Lee A.
     Eli Lilly and Company, USA; Johnson, Kirk W.; Phebus, Lee A.
PΑ
SO
     PCT Int. Appl., 217 pp.
     CODEN: PIXXD2
     Patent
DT
LA
    English
FAN.CNT 1
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                                19980326
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PΙ
                                            WO 1997-US14576
    WO 9811895
                         A1
         W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH,
             HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD,
             MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN,
             ML, MR, NE, SN, TD, TG
                                            AU 1997-40748
     AU 9740748
                          Α
                                19980414
                                                                    19970815
     EP 832650
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                                19980401
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     EP 832650
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            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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PRAI US 1996-25271P
                          Ρ
                                19960918
     WO 1997-US14576
                          W
                                19970815
OS
     MARPAT 128:257330
     182564-21-2P
                    182564-22-3P
IT
                                   182564-23-4P
     182564-24-5P
                    182564-25-6P
                                   182564-36-9P
                    201857-23-0P
     201857-22-9P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of piperidinylindoles and related compds. as serotonin 5-HT1F
        agonists)
RN
     182564-21-2 CAPLUS
```

1H-Indole-5-carboxamide, N-(2-pyridinylmethyl)-3-(1,2,3,6-tetrahydro-1-

methyl-4-pyridinyl)- (CA INDEX NAME)

CN

RN 182564-22-3 CAPLUS

CN 1H-Indole-5-carboxamide, N-(3-pyridinylmethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

RN 182564-23-4 CAPLUS

CN 1H-Indole-5-carboxamide, N-(4-pyridinylmethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

RN 182564-24-5 CAPLUS

CN 1H-Indole-5-carboxamide, N-(2-furanylmethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

RN 182564-25-6 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(tetrahydro-2-furany1)methy1]-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridiny1)- (CA INDEX NAME)

RN 182564-36-9 CAPLUS

CN 1H-Indole-5-carboxamide, N-methoxy-N-methyl-3-(1-methyl-4-piperidinyl)-(CA INDEX NAME)

RN 201857-22-9 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(1-methyl-4-piperidinyl)-N-phenyl- (CA INDEX NAME)

RN 201857-23-0 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(1-methyl-4-piperidinyl)-N-(phenylmethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} H & Me \\ \hline N & N \\ \hline Ph-CH_2-NH-C \\ \hline O & \\ \end{array}$$

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L11 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
    1998:124013 CAPLUS
AN
    128:192544
DN
OREF 128:38039a,38042a
    Preparation of indole and carbazole derivatives as serotonin agonists
IN
    Johnson, Kirk W.; Phebus, Lee A.
PA
    Eli Lilly and Company, USA; Johnson, Kirk W.; Phebus, Lee A.
SO
    PCT Int. Appl., 271 pp.
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 1
    PATENT NO.
                     KIND DATE
                                     APPLICATION NO.
                      ----
                                        _____
    _____
                             19980219 WO 1997-US14097 19970812
    WO 9806402
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PΙ
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            MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ,
            TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW
        RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN,
            ML, MR, NE, SN, TD, TG
    US 5962473
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                                         CA 1997-2263550
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                                         EP 1997-306130
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    EP 824917
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    AU 716904
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    CN 1233180
                             19991027
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    HU 9902405
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                      A3 20021128
    NZ 334029
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    JP 2000516233
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                                        JP 1998-509943
                                                              19970812
    CZ 289998
                      B6 20020515
                                        CZ 1999-440
                                                              19970812
    KR 2000035789
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                            20000626
                                        KR 1999-701285
                                                              19990213
    NO 9900701
                            19990416
                                        NO 1999-701
                      A
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    US 6380201
                      B1 20020430
                                         US 1999-262726
                                                              19990304
PRAI US 1996-24096P
                      P
                             19960816
    US 1997-906770
                       A3
                            19970805
    WO 1997-US14097 W
                            19970812
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
    MARPAT 128:192544
OS
    182564-21-2P 182564-22-3P
                                182564-23-4P
IT
                 182564-25-6P 201857-22-9P
    182564-24-5P
    201857-23-0P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
       (preparation of indole and carbazole derivs. as 5-HT agonists)
RN
    182564-21-2 CAPLUS
    1H-Indole-5-carboxamide, N-(2-pyridinylmethyl)-3-(1,2,3,6-tetrahydro-1-
CN
    methyl-4-pyridinyl) - (CA INDEX NAME)
```

RN 182564-22-3 CAPLUS

CN 1H-Indole-5-carboxamide, N-(3-pyridinylmethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

RN 182564-23-4 CAPLUS

CN 1H-Indole-5-carboxamide, N-(4-pyridinylmethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} \\ \hline \\ \text{N} \\ & \text{NH} \\ \end{array}$$

RN 182564-24-5 CAPLUS

CN 1H-Indole-5-carboxamide, N-(2-furanylmethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

RN 182564-25-6 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(tetrahydro-2-furanyl)methyl]-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} \\ \hline \\ & \text{O} \\ & \text{CH}_2 - \text{NH} - \text{C} \\ & \text{NH} \\ \end{array}$$

RN 201857-22-9 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(1-methyl-4-piperidinyl)-N-phenyl- (CA INDEX NAME)

RN 201857-23-0 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(1-methyl-4-piperidinyl)-N-(phenylmethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} H & H \\ N & N \end{array}$$

IT 182564-36-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indole and carbazole derivs. as 5-HT agonists)

RN 182564-36-9 CAPLUS

CN 1H-Indole-5-carboxamide, N-methoxy-N-methyl-3-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

```
OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
```

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1998:55467 CAPLUS

DN 128:127937

OREF 128:25131a, 25134a

TI Preparation of 3-(4-piperidinyl)indoles as 5-HT1F agonists

IN Audia, James Edmund; Dressman, Bruce Anthony; Droste, James Joseph; Fritz, James Erwin; Kaldor, Stephen Warren; Koch, Daniel James; Krushinski, Joseph Herman, Jr.; Nissen, Jeffrey Scott; Rocco, Vincent Patrick; Schaus, John Mehnert; Thompson, Dennis Charles

PA Eli Lilly and Co., USA

SO U.S., 49 pp., Cont.-in-part of U.S. Ser. No. 407,553, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

11111	PA]	ENT 1	NO.			KIN	D	DATE			APF	LI	CAT	ION 1	NO.		D	ATE	
PI	CA	5708008 2215322 9629075 W: AL, AM, AU, KE, KG, KP,			A1		1996	0926 0926		CA WO	19 19	96-1 96-1	2215 JS35	322 00		1	9960	315 315	
				NZ,				LK, SD,											
		R₩:	•	•	MW, TD,	•	SZ,	UG,	BF,	ВJ,	CF	۲,	CG,	CI,	CM,	GA,	GN,	ML,	MR,
	AU 9653112		A		1996	1008		AU	19	996-	5311	2		1	9960	315			
	AU 702322			В2		1999													
	CN	1184				A		1998	0610		CN	19	996-	1938	81		1	9960	315
	JΡ	1150	2816			T		1999	0309		JΡ	19	996-	5285	01		1	9960	315
	HU	9800	417			A2		1999	0628		HU	19	998-	417			1	9960	315
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	ΑT	1983	32			T		2001	0115		ΑT	19	96-	3018	45		1	9960	319
		2153				Т3		2001										9960	
		9601				A		1998									_	9960	
		9704				A		1997										9970	
		5962				A		1999										9971	
	_	3035	-			Т3		2001			GR	20	01-	4003	30		2	0010	228
PRAI		1995						1995											
	-	1996				W		1996											
	US	1996	-619	783		A3		1996	0320										

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 128:127937

IT 182564-21-2P 182564-22-3P 182564-23-4P 182564-24-5P 182564-25-6P 201857-22-9P

201857-23-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-(4-piperidinyl) indoles as 5-HT1F agonists)

RN 182564-21-2 CAPLUS

CN 1H-Indole-5-carboxamide, N-(2-pyridinylmethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

RN 182564-22-3 CAPLUS

CN 1H-Indole-5-carboxamide, N-(3-pyridinylmethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

RN 182564-23-4 CAPLUS

CN 1H-Indole-5-carboxamide, N-(4-pyridinylmethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} \\ \hline \\ \text{N} \\ & \text{NH} \\ \end{array}$$

RN 182564-24-5 CAPLUS

CN 1H-Indole-5-carboxamide, N-(2-furanylmethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

RN 182564-25-6 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(tetrahydro-2-furanyl)methyl]-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

RN 201857-22-9 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(1-methyl-4-piperidinyl)-N-phenyl- (CA INDEX NAME)

RN 201857-23-0 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(1-methyl-4-piperidinyl)-N-(phenylmethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} H & Me \\ \hline N & N \\ \hline O & \\ \end{array}$$

IT 182564-36-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-(4-piperidinyl)indoles as 5-HT1F agonists)

RN 182564-36-9 CAPLUS

CN 1H-Indole-5-carboxamide, N-methoxy-N-methyl-3-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS) OSC.G 12 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 35 ALL CITATIONS AVAILABLE IN THE RE FORMAT L11 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN 1996:646482 CAPLUS DN 125:275668 OREF 125:51553a,51556a Preparation of 3-(4-piperidyl)indoles and analogs as 5-HT1F agonists Audia, James Edmund; Dressmann, Bruce Anthony; Droste, James Joseph; Fritz, James Erwin; Kaldor, Stephen Warren; Koch, Daniel James; Krushinski, Joseph Herman, Jr.; Thompson, Dennis Charles; Nissen, Jeffrey Scott; et al. PAEli Lilly and Co., USA Eur. Pat. Appl., 82 pp. SO CODEN: EPXXDW DT Patent LA English FAN.CNT 2 APPLICATION NO. KIND DATE PATENT NO. DATE ----____ _____ _____ A1 EP 1996-301845 PΙ EP 733628 19960925 19960319 EP 733628 В1 20001227 R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE A1 19960926 CA 1996-2215322 CA 2215322 19960315 19960926 WO 9629075 WO 1996-US3500 Α1 19960315 W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG AU 1996-53112 AU 9653112 19961008 19960315 A AU 702322 В2 19990218 CN 1184425 A 19980610 CN 1996-193881 19960315 JP 11502816 \mathbf{T} 19990309 JP 1996-528501 19960315 HU 9800417 A2 19990628 HU 1998-417 19960315 A3 20010428 T 20010115 T3 20010216 A 19980106 HU 9800417 AT 198332 AT 1996-301845 19960319 ES 2153078 ES 1996-301845 BR 9601061 BR 1996-1061 19960320 NO 9704220 A 19971104 NO 1997-4220 19970912 GR 2001-400330 GR 3035487 Т3 20010531 20010228 A PRAI US 1995-407553 19950320 19960315 WO 1996-US3500 W MARPAT 125:275668 OS 182564-21-2P 182564-22-3P 182564-23-4P IT 182564-24-5P 182564-25-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

182564-21-2 CAPLUS 1H-Indole-5-carboxamide, N-(2-pyridinylmethyl)-3-(1,2,3,6-tetrahydro-1-CN methyl-4-pyridinyl) - (CA INDEX NAME)

RN

(preparation of 3-(4-piperidyl)indoles and analogs as 5-HT1F agonists)

RN 182564-22-3 CAPLUS

CN 1H-Indole-5-carboxamide, N-(3-pyridinylmethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

RN 182564-23-4 CAPLUS

CN 1H-Indole-5-carboxamide, N-(4-pyridinylmethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} \\ \hline \\ \text{N} \\ & \text{NH} \\ \end{array}$$

RN 182564-24-5 CAPLUS

CN 1H-Indole-5-carboxamide, N-(2-furanylmethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

RN 182564-25-6 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(tetrahydro-2-furanyl)methyl]-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \\ & \\ \\ \text{N} \\ \\ \text{O} \\ \\ \text{CH}_2 - \text{NH} - \text{C} \\ \\ \\ & \\ \text{NH} \\ \\ \end{array}$$

IT 182564-36-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-(4-piperidyl)indoles and analogs as 5-HT1F agonists)

RN 182564-36-9 CAPLUS

CN 1H-Indole-5-carboxamide, N-methoxy-N-methyl-3-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

OSC.G 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)

L11 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1996:250648 CAPLUS

DN 124:331700

OREF 124:61201a,61204a

TI Comparison of "electric shapes" of some indole derivatives which are competitors of serotonin

AU Laszlo, Tarko

CS Inst. Chimie Organica, Academia Romana, Bucharest, Rom.

SO Revista de Chimie (Bucharest) (1996), 47(3), 238-43 CODEN: RCBUAU; ISSN: 0034-7752

PB CHIMINFORM DATA

DT Journal

LA Romanian

IT 116480-55-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(comparison of "elec. shapes" of some indole derivs. which are competitors of serotonin)

RN 116480-55-8 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

$$H_2N-C$$
 N
 N
 Me

L11 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1994:124110 CAPLUS

DN 120:124110

OREF 120:21649a,21652a

TI Three-dimensional quantitative structure-activity relationships of 5-HT receptor binding data for tetrahydropyridinylindole derivatives: a comparison of the Hansch and CoMFA methods

AU Agarwal, Atul; Pearson, Philip P.; Taylor, Ethan Will; Li, Hong B.; Dahlgren, Torsten; Herslof, Margareta; Yang, Youhua; Lambert, Georgina; Nelson, David L.; et al.

CS Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA

SO Journal of Medicinal Chemistry (1993), 36(25), 4006-14 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 120:124110

IT 116480-55-8

RL: BIOL (Biological study)

(mol. modeling, structure alignment and activity relationships as 5-HT receptor antagonist or agonist)

RN 116480-55-8 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

$$H_2N-C$$
 N
 Me

IT 152879-60-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and mol. modeling, structure alignment and activity relationships as 5-HT receptor antagonist or agonist)

RN 152879-60-2 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(1,2,3,6-tetrahydro-1-propyl-4-pyridinyl)- (CA INDEX NAME)

$$H_2N-C$$
 N
 $Pr-r$

OSC.G 47 THERE ARE 47 CAPLUS RECORDS THAT CITE THIS RECORD (48 CITINGS)

L11 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1992:165759 CAPLUS

DN 116:165759

OREF 116:27779a,27782a

TI The structure-activity relationship of inhibitors of serotonin uptake and receptor binding

AU Hansch, Corwin; Caldwell, Jonathan

CS Dep. Chem., Pomona Coll., Claremont, CA, 91711, USA

SO Journal of Computer-Aided Molecular Design (1991), 5(5), 441-53 CODEN: JCADEQ; ISSN: 0920-654X

DT Journal

LA English

IT 116480-55-8

RL: BIOL (Biological study)

(serotonin uptake and receptor binding inhibition by, MSBAR and QSAR study of)

RN 116480-55-8 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

$$\begin{array}{c|c} H_2N-C \\ \hline \\ O \end{array} \qquad \begin{array}{c} H \\ N \\ \end{array}$$

OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L11 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1989:423389 CAPLUS

DN 111:23389

OREF 111:4069a,4072a

TI Preparation of 3-(4-piperidiny1)- and

3-(1,2,3,6-tetrahydro-4-pyridinyl)indoles as antimigraine agents

IN Oxford, Alexander William; Coates, Ian Harold; Butina, Darko

PA Glaxo Group Ltd., UK

SO Eur. Pat. Appl., 18 pp.

CODEN: EPXXDW

DT Patent

LA English

EAN CNT 2

FAN.CNT 2													
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE								
PΙ	EP 303506	A2	19890215	EP 1988-307498	19880812								
	EP 303506	A 3	19900926										
	R: AT, BE, CH,	DE, ES	, FR, GB, GR	, IT, LI, LU, NL, SE									
	ZA 8805923	A	19890726	ZA 1988-5923	19880811								
	JP 01131174	A	19890524	JP 1988-201838	19880812								
	US 50 6666 0	A	19911119	US 1990-570513	19900821								
PRAI	GB 1987-19167	A	19870813										
	US 1988-231260	B1	19880812										
OS	MARPAT 111:23389												
ΙT	116480-55-8P 1212	06-43-7	P 121206-4	4-8P									
	121206-54-0P 1212	06-55-1	P 121206-5	6-2P									
	121206-58-4P 1212	06-59-5	P 121206-6	0-8P									

121206-61-9P 121206-62-0P 121206-67-5P 121206-81-3P 121227-83-6P 121230-32-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as antimigraine agent)

RN 116480-55-8 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

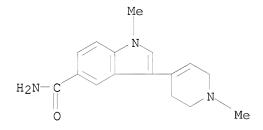
$$\begin{array}{c|c} H & H \\ N & \\ N & \\ N & \\ \end{array}$$

RN 121206-43-7 CAPLUS

CN 1H-Indole-5-carboxamide, 1-methyl-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-, ethanedioate (1:?) (CA INDEX NAME)

CM 1

CRN 121206-42-6 CMF C16 H19 N3 O



CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 121206-44-8 CAPLUS

CN 1H-Indole-5-carboxamide, N-methyl-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

RN 121206-54-0 CAPLUS

CN 1H-Indole-5-carboxamide, N-(2-phenylethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 121206-55-1 CAPLUS

CN 1H-Indole-5-carboxamide, N-(1-methylethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

RN 121206-56-2 CAPLUS

CN 1H-Indole-5-carboxamide, N-(1-methylethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 121206-55-1 CMF C18 H23 N3 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 121206-58-4 CAPLUS

CN 1H-Indole-5-carboxamide, N, N-dimethyl-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-, ethanedioate (1:?) (CA INDEX NAME)

CM 1

CRN 121206-57-3 CMF C17 H21 N3 O

$$\begin{array}{c|c} H \\ N \\ \\ O \end{array}$$

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 121206-59-5 CAPLUS

CN 1H-Indole-5-carboxamide, N-(1-methylethyl)-3-(1-methyl-4-piperidinyl)-, hydrochloride (1:?) (CA INDEX NAME)

RN 121206-60-8 CAPLUS

CN 1H-Indole-5-carboxamide, N-(1-methylethyl)-3-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

RN 121206-61-9 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(1-methyl-4-piperidinyl)-N-(2-phenylethyl)-, hydrochloride (1:?) (CA INDEX NAME)

$${\tt Ph-CH_2-CH_2-NH-C} \\ {\tt O} \\ {\tt Me}$$

●x HCl

RN 121206-62-0 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

$$\begin{array}{c|c} H_2N-C \\ \hline \\ O \end{array}$$

RN 121206-67-5 CAPLUS

CN 1H-Indole-5-carboxamide, 1-methyl-3-(1-methyl-4-piperidinyl)-, ethanedioate (1:?) (CA INDEX NAME)

CM 1

CRN 121206-66-4 CMF C16 H21 N3 O

$$\begin{array}{c|c} & \text{Me} \\ & \\ & \\ N \\ & \\ O \end{array}$$

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 121206-81-3 CAPLUS

CN 1H-Indole-5-carboxamide, N-(phenylmethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

$$\mathtt{Ph}-\mathtt{CH}_2-\mathtt{NH}-\mathtt{C}$$

RN 121227-83-6 CAPLUS

CN 1H-Indole-5-carboxamide, N-(phenylmethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-, hydrochloride (1:?) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Ph-CH}_2\text{-NH-C} \\ \text{O} \end{array}$$

●x HCl

RN 121230-32-8 CAPLUS

CN 1H-Indole-5-carboxamide, N,N-dimethyl-3-(1-methyl-4-piperidinyl)-, ethanedioate (1:?) (CA INDEX NAME)

CM 1

CRN 121230-31-7

$$\begin{array}{c|c} H \\ N \\ \\ O \end{array}$$

CM 2

CRN 144-62-7 CMF C2 H2 O4

OSC.G 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)

L11 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1988:521996 CAPLUS

DN 109:121996

OREF 109:20143a, 20146a

TI Molecular determinants for recognition of RU 24696 analogs at central 5-hydroxytryptamine recognition sites: use of a bilinear function and substituent volumes to describe steric fit

AU Taylor, Ethan Will; Nikam, Sham S.; Lambert, Georgina; Martin, Arnold R.; Nelson, David L.

CS Coll. Pharm., Univ. Arizona, Tucson, AZ, 85721, USA

SO Molecular Pharmacology (1988), 34(1), 42-53 CODEN: MOPMA3; ISSN: 0026-895X

DT Journal

LA English

IT 116480-55-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

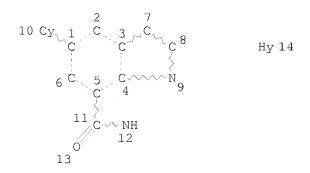
(preparation and hydroxytryptamine receptor site binding of, QSAR study of)

RN 116480-55-8 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (CA INDEX NAME)

$$\begin{array}{c|c} H & H \\ N & N \\ N & N \\ N & N \\ N & Me \end{array}$$

OSC.G 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)



ENTER (DIS), GRA, NOD, BON OR ?:end L5 STRUCTURE CREATED

=> s 15

SAMPLE SEARCH INITIATED 12:01:14 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 13110 TO ITERATE

15.3% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

10 ANSWERS

1475 ANSWERS

PROJECTED ITERATIONS: 255339 TO 269061 PROJECTED ANSWERS: 826 TO 1796

10 SEA SSS SAM L5 L6

=> s 15 ful

FULL SEARCH INITIATED 12:01:19 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 261651 TO ITERATE

100.0% PROCESSED 261651 ITERATIONS

SEARCH TIME: 00.00.14

L7 1475 SEA SSS FUL L5

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L1 HAS NO ANSWERS

L1STR

NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED GRAPH ATTRIBUTES:

RSPEC 1 14

NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

=> d his 13

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L3 1216 S L1 FUL

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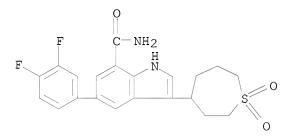
L8 265 L7 NOT L3

=> d scan

L8 265 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Indole-7-carboxamide, 5-(3,4-difluorophenyl)-3-(1,1-dioxido-4-thiepanyl)-

MF C21 H20 F2 N2 O3 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 187.32 390.86 SINCE FILE DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -4.10 0.00

FILE 'CAPLUS' ENTERED AT 12:02:16 ON 20 OCT 2009
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FILE COVERS 1907 - 20 Oct 2009 VOL 151 ISS 17

FILE LAST UPDATED: 19 Oct 2009 (20091019/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s 18
L9
             2 L8
=> d bib abs 1-2
     ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
1.9
ΑN
     2008:1180115 CAPLUS
DN
     149:425786
    Preparation of indolecarboxamide derivatives for use as IKK2 inhibitors
ΤТ
     Boehm, Jeffrey Charles; Busch-Petersen, Jakob; Fu, Wei; Jin, Qi; Kerns,
ΤN
     Jeffrey K.; Li, Huijie; Lin, Guoliang; Lin, Xichen; Neipp, Christopher E.
     Smithkline Beecham Corporation, USA
PA
SO
     PCT Int. Appl., 245pp.
     CODEN: PIXXD2
DT
     Patent
     English
FAN.CNT 1
     PATENT NO.
                        KIND
                                DATE
                                          APPLICATION NO.
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PΙ
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                                         WO 2008-US57583
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             FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
            KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
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PI WO 2008118724 A1 20081002 WO 2008-US57583 20080320
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2007-896558P P 20070323
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OS MARPAT 149:425786

GΙ

$$\mathbb{R}^4$$
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AB Title compds. I [R1 = YZ; R2 = bicyclo group or (un)substituted heterocycloalkyl containing S, S(O), or SO2; R3 and R4 independently = H or F; Y = bond, alkylene, alkenylene, or alkynylene; Z = (un)substituted aryl or heteroaryl; m = 0 or 1; with provisions], and their pharmaceutically acceptable salts, are prepared and disclosed as IKK2 inhibitors. Thus, e.g., II was prepared by bromination of 1-(1,1-dimethylethyl) 7-Me 2,3-dihydro-1H-1,7-dicarboxylate (preparation given) followed by deprotection, oxidation, condensation with tetrahydro-4H-thiopyran-4-one, protection, oxidation, deprotection/hydrolysis, amidation with ammonia, and coupling with phenylboronic acid. Select I were evaluated in IKK2 assays and demonstrated a pIC50 of about 5.0 to about 8.5. I were disclosed as therapeutic agents for the inhibition of IKK2 and can be useful in the treatment of disorders associated with inappropriate IKK2 (also known as $IKK\beta$) activity, such as rheumatoid arthritis, asthma, rhinitis, and chronic obstructive pulmonary disease.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:673109 CAPLUS

DN 143:172754

TI Preparation of 7-indolecarboxamides as IKK2 kinase inhibitors for the treatment of such as inflammatory and tissue repair disorders

IN Baldwin, Ian Robert; Bamborough, Paul; Christopher, John Andrew; Kerns, Jeffrey K.; Longstaff, Timothy; Miller, David Drysdale

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 169 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

L MIN.		_																
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	WO	2005	-GB8	5		M		2005	0113										
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 143:172754; MARPAT 143:172754

Title compds. I [wherein R1, R2 = H, halo, alkylene, alkenylene, (hetero)aryl, etc., and salts, solvates, or physiol. functional derivs. thereof] were prepared as IKK2 kinase inhibitors. For instance, Pd-catalyzed coupling of Boc-protected bromide II (preparation given) with phenylboronic acid followed by deprotection with HCl gave 7-indolecarboxamide III. Most invented compds. were found to have activity >4.8 in the IKK2 assay, in which the degree of phosphorylation of GST-IkBa was measured as a ratio of specific 665 nm energy transfer signal to reference europium 620 nm signal. Therefore, I and their pharmaceutical compns. are useful in the treatment and prevention of disease states mediated by IKK2 mechanisms, including inflammatory and tissue repair disorders.

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d

L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2008:1180115 CAPLUS

DN 149:425786

TI Preparation of indolecarboxamide derivatives for use as IKK2 inhibitors

IN Boehm, Jeffrey Charles; Busch-Petersen, Jakob; Fu, Wei; Jin, Qi; Kerns, Jeffrey K.; Li, Huijie; Lin, Guoliang; Lin, Xichen; Neipp, Christopher E.

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 245pp. CODEN: PIXXD2

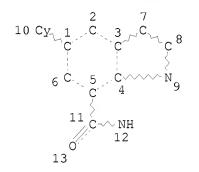
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DT Patent
LA English
FAN.CNT 1
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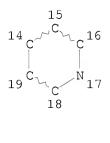
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PRAI	RAI US 2007-896558P							2007	0323									

OS MARPAT 149:425786

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 11L1 HAS NO ANSWERS





NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RSPEC 1 14 NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

=> d his 13

(FILE 'REGISTRY' ENTERED AT 11:56:13 ON 20 OCT 2009) L3 1216 S L1 FUL

=> d his 14

(FILE 'CAPLUS' ENTERED AT 11:58:51 ON 20 OCT 2009) L45 S L3

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ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
L9
     2008:1180115 CAPLUS
ΑN
DN
     149:425786
     Preparation of indolecarboxamide derivatives for use as IKK2 inhibitors
TI
ΙN
     Boehm, Jeffrey Charles; Busch-Petersen, Jakob; Fu, Wei; Jin, Qi; Kerns,
     Jeffrey K.; Li, Huijie; Lin, Guoliang; Lin, Xichen; Neipp, Christopher E.
PA
     Smithkline Beecham Corporation, USA
     PCT Int. Appl., 245pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
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                                            APPLICATION NO.
                                                                    DATE
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PRAI US 2007-896558P
                         P
                                 20070323
     MARPAT 149:425786
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RE.CNT 1
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
L9
ΑN
     2005:673109 CAPLUS
DN
     143:172754
     Preparation of 7-indolecarboxamides as IKK2 kinase inhibitors for the
     treatment of such as inflammatory and tissue repair disorders
     Baldwin, Ian Robert; Bamborough, Paul; Christopher, John Andrew; Kerns,
IN
     Jeffrey K.; Longstaff, Timothy; Miller, David Drysdale
     Smithkline Beecham Corporation, USA
PA
SO
     PCT Int. Appl., 169 pp.
     CODEN: PIXXD2
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     English
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
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AU 2005205090 A1 20050728 AU 2005-205090 CA 2552953 A1 20050728 CA 2005-2552953 EP 1703905 A1 20060927 EP 2005-701855 EP 1703905 B1 20081112
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        CN 1933830
                               A 20070321 CN 2005-80008362 20050113
       BR 2005006802 A 20070529 BR 2005-6802
JP 2007517848 T 20070705 JP 2006-548393
AT 413877 T 20081115 AT 2005-701855
ES 2317184 T3 20090416 ES 2005-701855
ZA 2006004855 A 20071128 ZA 2006-4855
IN 2006DN03579 A 20070831 IN 2006-DN3579
US 20080269200 A1 20081030 US 2006-597154
MX 2006008080 A 20060920 MX 2006-8080
NO 2006003676 A 20061013 NO 2006-3676
HK 1098047 A1 20090529 HK 2007-102877
GB 2004-895 A 20040115
WO 2005-GB85 W 20050113
GNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FOR
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PRAI GB 2004-895
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
     CASREACT 143:172754; MARPAT 143:172754
OSC.G 4
                      THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE.CNT 1
                      THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
                      ALL CITATIONS AVAILABLE IN THE RE FORMAT
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=> d bib abs 14 1-5

- L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2009:675762 CAPLUS
- DN 151:8309
- TI Preparation of novel indolecarboxamides as IKK2 inhibitors
- IN Deng, Jianghe; Kerns, Jeffrey K.; Jin, Qi; Lin, Guoliang; Lin, Xichen; Lindenmuth, Michael; Neipp, Christopher; Nie, Hong; Thomas, Sonia M.; Widdowson, Katherine L.
- PA USA
- SO U.S. Pat. Appl. Publ., 164pp.
 - CODEN: USXXCO

MARPAT 151:8309

- DT Patent
- LA English
- FAN.CNT 1

11111.0111 1				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 20090143372	A1	20090604	US 2007-931189	20071031
PRAI US 2007-931189		20071031		
ASSIGNMENT HISTORY FOR U	JS PATEN	T AVAILABLE	IN LSUS DISPLAY FORMAT	

OS GI

AΒ The title compds. I [R1 = XYZ, tetrahydroisoquinolinyl, dihydroisoindolyl; X = (un) substituted Ph, heteroaryl, etc.; Y = a bond or alkylene; Z =NR4R5 or heterocycloalkyl; R2, R3 = H, F, C1; R4 = H, alkyl (optionally substituted with one hydroxy or one methoxy group); R5 = H, heterocycloalkyl, alkoxy, etc.; U = a bond, alkylene or alkenylene; V = Ph, 5-6 membered heteroaryl, 5-7 membered heterocycloalkyl, etc.] which are inhibitors of IKK2 and can be useful in the treatment of disorders associated with inappropriate IKK2 (also known as $IKK\beta$) activity, such as rheumatoid arthritis, asthma, and COPD (chronic obstructive pulmonary disease), were prepared E.g., a multi-step synthesis of II, starting from indoline, was given. Selected compds. I were tested for activity against IKK2 (data given for representative compds. I). The invention is further directed to pharmaceutical compns. comprising a compound I. The invention is still further directed to methods of inhibiting IKK2 activity and treatment of disorders associated therewith using a compound I or a pharmaceutical composition comprising a compound I.

- L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2007:590757 CAPLUS
- DN 147:30940
- TI Preparation of indolecarboxamide derivatives as inhibitors of kinase activity
- IN Kerns, Jeffrey K.; Busch-Petersen, Jakob; Li, Huijie; Boehm, Jeffrey Charles; Nie, Hong; Taggart, John J.
- PA Smithkline Beecham Corporation, USA
- SO PCT Int. Appl., 86 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	WO 2007062318	A2	20070531	WO 2006-US61018	20061117

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WO 2007062318
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             KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
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PRAI US 2005-738393P
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     WO 2006-US61018
                          W
                                20061117
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
    MARPAT 147:30940
GΙ
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AB The title compds. with general formula I [wherein X = 0, S, S(0), S(0)2, etc.; R1 = H, (un)substituted alkyl, haloalkyl, heterocycloalkyl, etc.; R2 = (un)substituted alkyl, aryl, cycloalkyl, etc.; R3 = independently OH, oxo, alkyl, or haloalkyl; m = 1-3] or pharmaceutically acceptable salts thereof were prepared for the treatment of disorders associated with inappropriate IKK2 activities. In particular, I can be used for the treatment and prevention of inflammatory and tissue repair disorders, including rheumatoid arthritis, asthma, and COPD. For example, compound II was prepared in a multi-step synthesis. II exhibited IKK2 inhibitory activity with pIC50 value of 4.6 in IKK2 assay.

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:33976 CAPLUS

DN 146:142511

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Preparation of novel indolecarboxamides as IKK2 inhibitors
ΤI
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- Smithkline Beecham Corporation, USA PA
- PCT Int. Appl., 390 pp. SO

CODEN: PIXXD2

Patent DT

LA English

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FAN.	CNT	1

FAN.	PA:	ATENT NO.					KIND DATE				APPI	LICAT		DATE						
ΡI	WO	2007									20060628									
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PRAI	CN	1012	4780	4 25 CD		A		2008	0820		CN 2	2006-	8003	0448		2	0080	221		
PRAI	GU OM	2005	-693. -1192	206P 5402		TAT		2005	0628											
OS GI	WO 2006-US25402 MARPAT 146:142511							2000	0020											

Deng, Jianghe; Kerns, Jeffrey K.; Jin, Qi; Lin, Guoliang; Lin, Xichen; Lindenmuth, Michael; Neipp, Christopher E.; Nie, Hong; Thomas, Sonia M.; IN Widdowson, Katherine L.

AΒ The title compds. I [R1 = XYZ, tetrahydroisoquinolinyl, dihydroisoindolyl; X = (un) substituted Ph, heteroaryl, etc.; Y = a bond or alkylene; Z = aNR4R5 or heteroocycloalkyl; R2, R3 = H, F, C1; R4 = H, alkyl (optionally substituted with one hydroxy or one methoxy group); R5 = H, heterocycloalkyl, alkoxy, etc.; U = a bond, alkylene or alkenylene; V = Ph, 5-6 membered heteroaryl, 5-7 membered heterocycloalkyl, etc.] which are inhibitors of IKK2 and can be useful in the treatment of disorders associated with inappropriate IKK2 (also known as IKKβ) activity, such as rheumatoid arthritis, asthma, and COPD (chronic obstructive pulmonary disease), were prepared E.g., a multi-step synthesis of II, starting from indoline, was given. Selected compds. I were tested for activity against IKK2 (data given for representative compds. I). The invention is further directed to pharmaceutical compns. comprising a compound I. The invention is still further directed to methods of inhibiting IKK2 activity and treatment of disorders associated therewith using a compound I or a pharmaceutical composition comprising a compound I.

II

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:298630 CAPLUS

DN 144:350542

TI Indole derivatives as IKK2 inhibitors and their preparations, pharmaceutical compositions, and use for treatment of diseases associated with inappropriate IKK2 activity such as rheumatoid arthritis, asthma and chronic obstructive pulmonary disease

IN Kerns, Jeffrey K.; Lindenmuth, Michael; Lin, Xichen; Nie, Hong; Thomas, Sonia M.

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 220 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

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	WO	2006	0343	17		A3	A3 20070419												
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PRAI	US	2004	-611	761P		P		2004	0921										
		2005																	
	WO	2005	-US3	3752		M		2005	0921										
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OS	MAI	RPAT	144:	3505	42														

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AB The invention is directed to indole carboxamide derivs. of formula I. Compds. of formula I wherein R1 is H, halo or YZ; R2 and R3 are independently H, F or C1; Y is a bond, C1-6 alkylene, C2-6 alkenylene or C2-6 alkynylene; Z is (un)substituted (hetero)aryl; U is a bond, C1-6 alkylene or C2-6 alkenylene; V is (un)substituted Ph, (un)substituted 5-

or 6-membered heteroaryl, (un)substituted 5- to 7-membered heterocycloalkyl, (un)substituted C5-7 cycloalkyl or (un)substituted C5-7 cycloalkenyl; and their pharmaceutically acceptable salts, solvates, or polymorphs thereof are claimed in this invention. The compds. of the invention are inhibitors of IKK2 and can be useful in the treatment of disorders associated with inappropriate IKK2 (also known as IKKss) activity, such as rheumatoid arthritis, asthma, and COPD (chronic obstructive pulmonary disease). Accordingly, the invention is further directed to pharmaceutical compns. comprising a compound of the invention. The invention is still further directed to methods of inhibiting IKK2 activity and treatment of disorders associated therewith using a compound of the invention or a pharmaceutical composition comprising a compound of the invention.

Example compound II was prepared by N-Boc protection of indoline followed by acylation with Me chloroformate to give Me 1-(tert-butoxycarbonyl)indoline-7-carboxylate, which underwent bromination to give 5-bromo derivative, which was deprotected; the resulting Me 5-bromoindoline-7-carboxylate was dehydrated to give the Me 5-bromoindolecarboxylate, which upon hydrolysis gave the 5-bromo-7-indolecarboxylic acid, which underwent cross-coupling with phenylboronic acid; the resulting 5-phenylindole-7-carboxylic acid was converted to the corresponding indolecarboxamide, which underwent condensation with N-benzyl-4-piperidinone to give 3-(4-benzyl-1,2,3,6-tetrahydropyridin-4-yl)-5-phenylindole-7-carboxamide, which was subjected to hydrogenation; the resulting 3-(4-piperidinyl)-5-phenylindole-7-carboxamide was sulfonylated with 2-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)ethanesulfonyl chloride to give 3-[1-[2-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)] ethanesulfonyl]piperidin-4yl]-5-methyl-1H-indole-7-carboxamide, which was reacted with to give compound II. Addnl. 315 example compds. were prepared by similar methods. All the invention compds. were evaluated for their IKK2 kinase inhibitory activity. From the IKK2 assay, it was determined that example compound II along

with several other compds. have pIC50 values of 5.0 or greater. In the monocyte assay, most of the tested compound showed IC50 values or less than $10\mu\text{M}.$

- L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2005:673109 CAPLUS
- DN 143:172754
- TI Preparation of 7-indolecarboxamides as IKK2 kinase inhibitors for the treatment of such as inflammatory and tissue repair disorders
- IN Baldwin, Ian Robert; Bamborough, Paul; Christopher, John Andrew; Kerns, Jeffrey K.; Longstaff, Timothy; Miller, David Drysdale
- PA Smithkline Beecham Corporation, USA
- SO PCT Int. Appl., 169 pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PATENT	KIN	D	DATE			APPLICATION NO.						DATE							
РТ	WO 2005067923					_	2005	0720	WO 2005 CD95						20050113					
PI	WO 2000	000/9	23		A1		20050728			WO 2005-GB85						20030113				
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		2005						2005												
ASSIGNMENT HISTORY FOR US										LE II	N LS	SUS D	ISPL	AY F	ORMAI	Γ				
OS CASPEACT 1/3 · 17275/1 ·																				

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 143:172754; MARPAT 143:172754

AB Title compds. I [wherein R1, R2 = H, halo, alkylene, alkenylene, (hetero)aryl, etc., and salts, solvates, or physiol. functional derivs. thereof] were prepared as IKK2 kinase inhibitors. For instance, Pd-catalyzed coupling of Boc-protected bromide II (preparation given) with phenylboronic acid followed by deprotection with HCl gave 7-indolecarboxamide III. Most invented compds. were found to have activity >4.8 in the IKK2 assay, in which the degree of phosphorylation of GST-IkBa was measured as a ratio of specific 665 nm energy transfer signal to reference europium 620 nm signal. Therefore, I and their pharmaceutical compns. are useful in the treatment and prevention of disease states mediated by IKK2 mechanisms, including inflammatory and tissue repair disorders.

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT